Purdue Bioscience

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# Data Sheet

**Product Information**

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| Catalog Number | BP22501 |
| Product Name | Alpelisib |
| Description | Alpelisib is a potent, selective, and orally active PI3Kα inhibitor. Alpelisib shows efficacy in targeting PIK3CA-mutated cancer. Alpelisib also inhibits p110α/p110γ/p110δ/p110β with IC50s of 5/250/290/1200 nM, respectively. |
| Targets&IC50 | p110α:5 nM (IC50); p110β:1200 nM (IC50); p110δ:290 nM (IC50); p110γ:250 nM (IC50); p110α-H1047R:4 nM (IC50); p110α-E545K:4 nM (IC50). |
| In vitro | Alpelisib potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC50s~4 nM). Alpelisib potently inhibits Akt phosphorylation in cells transformed with PI3Kα (IC50=74±15 nM) and shows significant reduced inhibitory activity in PI3Kβ or PI3Kδ isoforms transformed cells (≥15-fold compared with PI3Kα). Alpelisib (0-50 μM; 72 hours) inhibits the cell growth of osteosarcoma cell lines MG63, HOS, POS-1 and MOS-J in a dose-dependent manner. Alpelisib significantly alters the distribution of cell cycle phases. Alpelisib (25 μM; 18 hours) induces a cell cycle arrest in the G0/G1 phase of human and murine osteosarcoma cell lines. |
| In vivo | Alpelisib (12.5 mg/kg and 50 mg/kg for C57Bl/6J mice; 50 mg/kg for female Rj:NMRI-nude mice; oral administration; daily) significantly reduces tumor volumes and deposition of ectopic bone matrix. Alpelisib has moderate terminal elimination half-life (t1/2=2.9±0.2 h) for rat (1 mg/kg, iv). |
| CAS No. | 1217486-61-7 |
| Chemical Formula | C19H22F3N5O2S |

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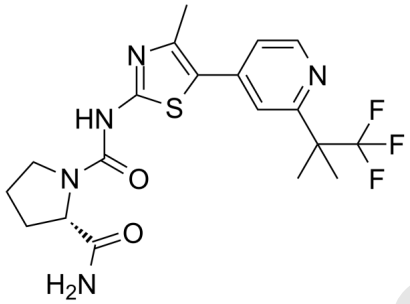
| Molecular Weight | 441.47 |
| Solubility | DMSO: 83.33 mg/mL (188.76 mM, Need ultrasonic) |
| Storage | Powder: -20°C for 2 years<br>In solvent: -80°C for 1 year |
| Chemical Structure<br>OR<br>Tested Image |  |

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v2 Revision on 12/28/2022